STRUCTURE FILE UPDATES: 9 SEP 2009 HIGHEST RN 1181864-71-0
DICTIONARY FILE UPDATES: 9 SEP 2009 HIGHEST RN 1181864-71-0

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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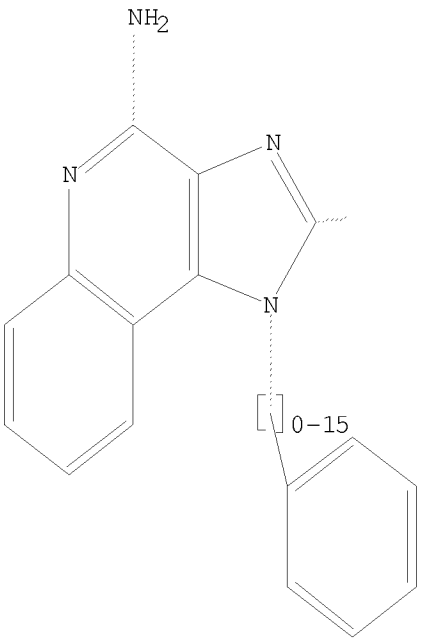
Uploading C:\Program Files\Stnexp\Queries\10596890.str

L4 STRUCTURE UPLOADED

=> d l4
L4 HAS NO ANSWERS
L4 STR




Structure attributes must be viewed using STN Express query preparation.

=> s l4

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SAMPLE SEARCH INITIATED 11:24:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      152 TO ITERATE

100.0% PROCESSED      152 ITERATIONS                                32 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         2301 TO     3779
PROJECTED ANSWERS:             301 TO      979

L5           32 SEA SSS SAM L4

=> s l4 ful
FULL SEARCH INITIATED 11:24:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     3252 TO ITERATE

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SEARCH TIME: 00.00.01

L6          588 SEA SSS FUL L4

=> file caplus
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   185.88     375.14

FILE 'CAPLUS' ENTERED AT 11:24:26 ON 11 SEP 2009
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FILE COVERS 1907 - 11 Sep 2009  VOL 151 ISS 12
FILE LAST UPDATED: 10 Sep 2009  (20090910/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Jun 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Jun 2009

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2009.

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http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
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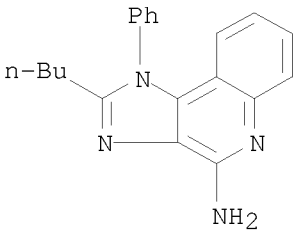
substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 9.

=> s l6
L7 13 L6

=> d abs bib fhitstr 1-13

L7 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
AB Hepatitis C is becoming an increasingly common cause of mortality especially in the HIV-coinfected group. Due to the efficacy of interferon (IFN) based therapy in the treatment of hepatitis C, various compds. possessing IFN-inducing activity have been hitherto reported. In the present study, we describe how steric, electrostatic, hydrophobic, and hydrogen-bonding interactions might influence the biol. activity of a published set of IFN inducers, using a three-dimensional quant. structure-activity relation (3-D QSAR) approach. Analyses were conducted evaluating different series of compds. structurally related to 8-hydroxyadenines and 1H-imidazo[4,5-c]quinolines. A ligand-based alignment protocol in combination with the GRID/GOLPE approach was applied: 62 3-D QSAR models were derived using different GRID probes and several training sets. Performed 3-D QSAR investigations proved to be of good statistical value displaying r2, q2CV-LOO, and cross-validated SDEP values of 0.73, 0.61, 0.61 and 0.89, 0.64, 0.58 using the OH or the DRY probe, resp. Addnl., the predictive performance was evaluated using an external test set of 20 compds. Analyses of the resulting models led to the definition of a pharmacophore model that can be of interest to explain the observed affinities of known compds. as well as to design novel low mol. weight IFN inducers (IFNIs). To the best of our knowledge, this is the first 3-D QSAR application on IFN-inducing agents.
AN 2009:684139 CAPLUS
DN 151:115593
TI Small-Molecule Interferon Inducers. Toward the Comprehension of the Molecular Determinants through Ligand-Based Approaches
AU Musmuca, Ira; Simeoni, Silvia; Caroli, Antonia; Ragno, Rino
CS Istituto Pasteur-Fondazione Cenci Bolognetti, Dipartimento di Chimica e Tecnologie del Farmaco, Sapienza Universita di Roma, Rome, 00185, Italy
SO Journal of Chemical Information and Modeling (2009), 49(7), 1777-1786
CODEN: JCISD8; ISSN: 1549-9596
PB American Chemical Society
DT Journal
LA English
IT 853792-99-1
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(small-mol. interferon inducers)
RN 853792-99-1 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 2-butyl-1-phenyl- (CA INDEX NAME)




RE.CNT 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN

AB The present invention provides IRM conjugates that includes an IRM moiety and a second active moiety covalently linked to the IRM moiety in which the covalent link does not depend on UV irradiation The IRM is an imidazoquinoline amine, tetrahydroimidazoquinoline amine, imidazopyridine amine, 1,2-bridged imidazopyridine amine, 6,7-cycloalkylimidazopyridine amine, imidazonaphthyridine amine, tetrahydroimidazonaphthyridine amine, oxazoloquinoline amine, thiazoloquinoline amine, oxazolopyridine amine, thiazolopyridine amine, etc. These IRM compds. appear to act through TLRs to induce selected cytokine biosynthesis and/or co-stimulatory mols. and increase antigen-presenting capacity. The IRM conjugates are directed against e.g. tumor, viral infection, allergy, autoimmune disease ans as vaccine adjuvant.

AN 2007:999273 CAPLUS
DN 147:321284

TI Antibody or antigen conjugated with immune response modifier for therapeutic use

IN Stoermer, Doris; Griesgraber, George W.; Mendoza, James D.; Bonk, Jason D.
PA 3M Innovative Properties Company, USA

SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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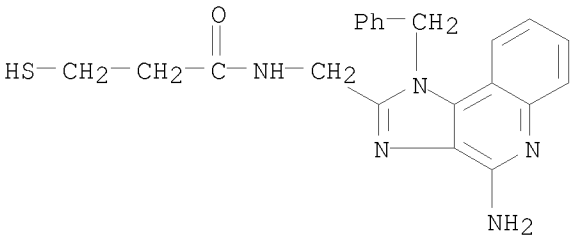
| PI | WO 2007100634 | A2 | 20070907 | WO 2007-US4673 | 20070221 |
| | WO 2007100634 | A3 | 20071025 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| | EP 1988896 | A2 | 20081112 | EP 2007-751438 | 20070221 |
| | R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |

US 20090035323 A1 20090205 US 2008-280472 20080822
PRAI US 2006-775468P P 20060222
WO 2007-US4673 W 20070221
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
IT 948029-61-6P
RL: MOA (Modifier or additive use); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(antibody or antigen conjugated with immune response modifier for therapeutic use)
RN 948029-61-6 CAPLUS
CN Propanamide, N-[[4-amino-1-(phenylmethyl)-1H-imidazo[4,5-c]quinolin-2-yl]methyl]-3-mercapto- (CA INDEX NAME)



L7 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of imidazoquinoline derivs. of formula I [wherein: R1 is (hetero)arylalk(en/yn)yl; R2 is H or a non-interfering substituent; R3 is absent, alkyl, alkoxy, OH, or halogen, etc.], useful for inducing cytokine biosynthesis (no biol. data). For instance, imidazoquinoline derivative II was prepared via addition of 3-iodopyridine to propynylimidazoquinoline derivative III.
AN 2005:638877 CAPLUS
DN 143:153376
TI A preparation of imidazoquinoline derivatives, useful as immunomodulators
IN Bonk, Jason D.; Dellaria, Joseph F., Jr.
PA 3M Innovative Properties Company, USA
SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2005066170 | A1 | 20050721 | WO 2004-US42556 | 20041217 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, | | | | |

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

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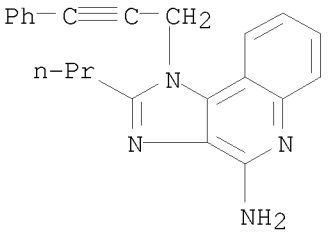
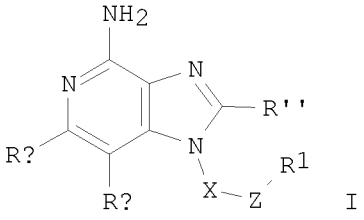
|---|---|---|---|---|---|
| EP 1701955 | A1 | 20060920 | EP 2004-814705 | 20041217 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU | | | | |
| JP 2007517035 | T | 20070628 | JP 2006-547179 | 20041217 |
| US 20090030030 | A1 | 20090129 | US 2006-596890 | 20060628 |
| PRAI US 2003-532982P | P | 20031229 | | |
| WO 2004-US42556 | W | 20041217 | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 143:153376; MARPAT 143:153376
IT 1043482-41-2
RL: PRPH (Prophetic)
(A preparation of imidazoquinoline derivatives, useful as immunomodulators)
RN 1043482-41-2 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(3-phenyl-2-propyn-1-yl)-2-propyl- (CA INDEX NAME)




RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
GI



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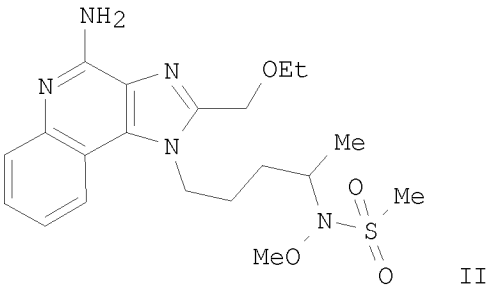

II

AB Title compds. [I; Z = -C(:N-OR2)- or CH-N(OR2)(YR3); X = CHR9,-CH(R9)-alk(en)ylene-, etc.; R9 = H, alkyl; R1 = H, (un)substituted alkyl, alkylene/hetero/aryl, etc.; R2, R3 = independently H, (un)substituted alk(en)yl, hetero/aryl, hetero/arylalkylenyl, etc.; Y = a bond, C:O, C:S, SO2, etc.; RA, RB = independently H, halo, alk(en)yl, etc.; RACCRB = (un)substituted fused hetero/aryl, fused 5-7-membered saturated ring], were prepared as immunomodulators for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases. For example, reacting 5-[4-Amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]pentan-2-one with NH2OH•HCl in the presence of NaBH3CN/AcOH/EtOH, and substitution with mesyl anhydride gave imidazoquinoline II (m.p. = 146-148°). Certain I may modulate cytokine biosynthesis by inhibiting production of tumor necrosis factor TNF-α when tested in mouse cells (no data).

AN 2005:493478 CAPLUS

DN 143:43875

TI Preparation of hydroxylamine and oxime substituted imidazoquinolines, imidazopyridines, and imidazonaphthyridines as inducers of cytokine biosynthesis for treatment of viral and neoplastic diseases

IN Krepski, Larry R.; Dellaria, Joseph F., Jr.; Duffy, Daniel E.; Amos, David T.; Zimmermann, Bernhard M.; Squire, David J.; Marszalek, Gregory J.; Heppner, Philip D.; Kshirsagar, Tushar A.

PA 3M Innovative Properties Company, USA

SO PCT Int. Appl., 305 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| PI | WO 2005051324 | A2 | 20050609 | WO 2004-US39673 | 20041124 |

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             SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
     AU 2004293096         A1    20050609    AU 2004-293096         20041124
     CA 2547085            A1    20050609    CA 2004-2547085        20041124
     EP 1686992            A2    20060809    EP 2004-812235         20041124
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     CN 1905874            A     20070131    CN 2004-80040953       20041124
     JP 2007512349         T     20070517    JP 2006-541442         20041124
     US 20070099901        A1    20070503    US 2006-595859         20060518
     IN 2006CN01847        A     20070608    IN 2006-CN1847         20060525
     ZA 2006005216         A     20070425    ZA 2006-5216           20060623
PRAI US 2003-524961P       P     20031125
     US 2004-580139P       P     20040616
     US 2004-581293P       P     20040618
     WO 2004-US39673       W     20041124
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS   CASREACT 143:43875; MARPAT 143:43875
IT   853227-39-1P, (E)-4-(4-Amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-
     yl)-1-phenylbutan-1-one oxime
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (drug candidate; preparation of hydroxylamine and oxime substituted
        imidazoquinolines, imidazonaphthyridines, and imidazopyridines as
        inducers of cytokine biosynthesis for treatment of viral and neoplastic
        disease)
RN   853227-39-1  CAPLUS
CN   1-Butanone, 4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)-1-phenyl-,
     oxime, (1E)-  (CA INDEX NAME)

Double bond geometry as shown.
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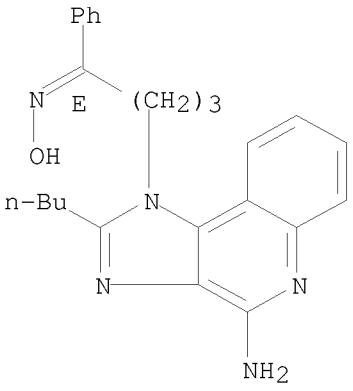
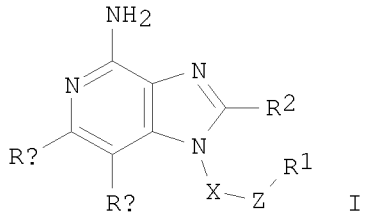


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
GI



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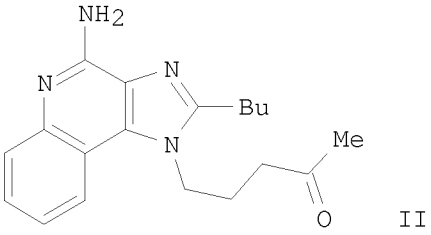

II

AB Title compds. [I; X = alkylene optionally interrupted by one or more -O-; Z = C:O, - C(:O)O-, -C(OR3)2-; R1 = H, (un)substituted alkyl, alkylene/aryl, alkylene/heteroaryl; Q = O, S; R3 = (un)substituted alkyl, alkylene/aryl, alkylene/heteroaryl; R2 = H, (un)substituted alk(en/yn)yl, hetero/aryl, alkylenealkyl, etc.; RA, RB = independently H, halo, alk(en)yl, alkoxy, alkylthio, NH2 and derivs.; or RACCRB = (un)substituted fused aryl ring or fused 5-7-membered saturated ring; and their pharmaceutically acceptable salts], were prepared as immunomodulators for

inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases. For example, II was prepared by reacting 4-(2-Butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyraldehyde (preparation given) with MeMgBr, followed by oxidation, reductive amination of the ketone, oxidation with m-CPBA/reaction with NH4OH. I have been found to induce cytokine biosynthesis by inhibiting production of tumor necrosis factor TNF-α when tested on an in vitro human blood cell system (no data).

AN 2005:490270 CAPLUS
DN 143:26611
TI Preparation of oxime substituted imidazo-containing compounds, particularly imidazoquinolines, as inducers of cytokine biosynthesis for treatment of viral and neoplastic diseases
IN Krepski, Larry R.; Dellaria, Joseph F., Jr.; Duffy, Daniel E.; Radmer, Matthew R.; Amos, David T.
PA 3M Innovative Properties Company, USA
SO PCT Int. Appl., 200 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2005051317 | A2 | 20050609 | WO 2004-US39512 | 20041124 |
| | WO 2005051317 | A3 | 20060511 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
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| | AU 2004293078 | A1 | 20050609 | AU 2004-293078 | 20041124 |
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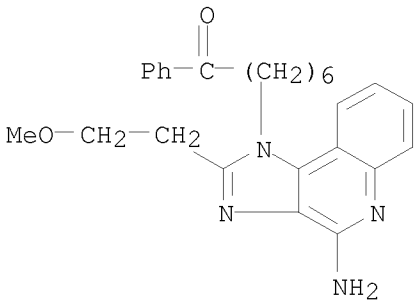
| | BR 2004016936 | A | 20070116 | BR 2004-16936 | 20041124 |
| | CN 1926138 | A | 20070307 | CN 2004-80040954 | 20041124 |
| | JP 2007512370 | T | 20070517 | JP 2006-541697 | 20041124 |
| | SG 148201 | A1 | 20081231 | SG 2008-8728 | 20041124 |
| | MX 2006005910 | A | 20060823 | MX 2006-5910 | 20060524 |
| | IN 2006CN01848 | A | 20070608 | IN 2006-CN1848 | 20060525 |
| | KR 2006125818 | A | 20061206 | KR 2006-712734 | 20060623 |
| | ZA 2006005216 | A | 20070425 | ZA 2006-5216 | 20060623 |
| PRAI | US 2003-524961P | P | 20031125 | | |
| | US 2004-580139P | P | 20040616 | | |
| | WO 2004-US39512 | W | 20041124 | | |

OS CASREACT 143:26611; MARPAT 143:26611
IT 1045444-40-3
RL: PRPH (Prophetic)
(Preparation of oxime substituted imidazo-containing compounds, particularly imidazoquinolines, as inducers of cytokine biosynthesis

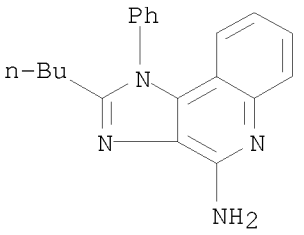
for treatment of viral and neoplastic diseases)
RN 1045444-40-3 CAPLUS
CN 1-Heptanone, 7-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-1-phenyl- (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
AB 1H-Imidazo-[4,5-c]quinolines were prepared while investigating novel nucleoside analogs as potential antiviral agents. While these compds. showed no direct antiviral activity when tested in a number of cell culture systems, some demonstrated potent inhibition of virus lesion development in an intravaginal guinea pig herpes simplex virus-2 assay. It was determined that the in vivo antiviral activity can be attributed to the ability of these mols. to induce the production of cytokines, especially interferon (IFN), in this model. Subsequently, it was found that the compds. also induce in vitro production of IFN in human peripheral blood mononuclear cells (hPBMCs). The in vitro results reported herein and the in vivo results reported previously led to the discovery of imiquimod which was developed as a topical agent and has been approved for the treatment of genital warts, actinic keratosis, and superficial basal cell carcinoma.
AN 2005:345257 CAPLUS
DN 143:43830
TI Synthesis and structure-activity-relationships of 1H-imidazo[4,5-c]quinolines that induce interferon production
AU Gerster, John F.; Lindstrom, Kyle J.; Miller, Richard L.; Tomai, Mark A.; Birmachu, Woubalem; Bomersine, Shannon N.; Gibson, Shiela J.; Imbertson, Linda M.; Jacobson, Joel R.; Knafla, Roy T.; Maye, Peter V.; Nikolaides, Nickolas; Oneyemi, Folakemi Y.; Parkhurst, Gwen J.; Pecore, Sharon E.; Reiter, Michael J.; Scribner, Lisa S.; Testerman, Tracy L.; Thompson, Natalie J.; Wagner, Tammy L.; Weeks, Charles E.; Andre, Jean-Denis; Lagain, Daniel; Bastard, Yvon; Lupu, Michel
CS 3M Center, 3M Pharmaceuticals, St. Paul, MN, 55144-1000, USA
SO Journal of Medicinal Chemistry (2005), 48(10), 3481-3491
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 143:43830

IT 853792-99-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of imidazo[4,5-c]quinoline derivs. and study of their interferon-inducing structure-activity relationship)
RN 853792-99-1 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 2-butyl-1-phenyl- (CA INDEX NAME)




OSC.G 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R3 = (un)substituted alk(en/yn)ylene-hetero/aryl, alk(en/yn)ylene-hetero/arylene-SO-R4, alk(en/yn)ylene-hetero/arylene-alkylene-SO-R4, etc.; R4 = H, (un)substituted alk(en/yn)yl, hetero/aryl, heterocyclyl, etc.; R = alkyl, OH and derivs., halo, CF3; R', R'' = independently H, non-interfering substituent; n = 0-1; and their pharmaceutically acceptable salts], were prepared as immunomodulators for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases. For example, II was prepared via cyclocondensation 7-Benzyloxy-N'-(2-methylpropyl)quinoline-3,4-diamine (preparation given) with tri-Me orthobutyrate, followed by oxidation and amination. Thus, I induced interferon and tumor necrosis factor in human cells (no data).
AN 2005:216680 CAPLUS
DN 142:298105
TI Preparation of aryloxy and arylalkyleneoxy substituted imidazoquinolines as inducers of cytokine biosynthesis for treatment of viral and neoplastic disease
IN Lindstrom, Kyle J.; Martin, Hugues; Merrill, Bryon A.; Rice, Michael J.; Wurst, Joshua R.; Haraldson, Chad A.; Kshirsagar, Tushar; Heppner, Philip D.; Niwas, Shri; Griesgraber, George W.; Radmer, Matthew R.
PA 3M Innovative Properties Company, USA
SO PCT Int. Appl., 291 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2005020999 | A1 | 20050310 | WO 2004-US28021 | 20040827 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2004268625 | A1 | 20050310 | AU 2004-268625 | 20040827 |
| | CA 2536136 | A1 | 20050310 | CA 2004-2536136 | 20040827 |
| | EP 1658076 | A1 | 20060524 | EP 2004-782492 | 20040827 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| | CN 1842336 | A | 20061004 | CN 2004-80024428 | 20040827 |
| | BR 2004013998 | A | 20061107 | BR 2004-13998 | 20040827 |
| | JP 2007504161 | T | 20070301 | JP 2006-524906 | 20040827 |
| | NZ 545412 | A | 20081224 | NZ 2004-545412 | 20040827 |
| | US 20090018122 | A1 | 20090115 | US 2006-595103 | 20060214 |
| | IN 2006CN00651 | A | 20070622 | IN 2006-CN651 | 20060222 |
| | MX 2006002199 | A | 20060522 | MX 2006-2199 | 20060224 |
| | KR 2007026298 | A | 20070308 | KR 2006-703863 | 20060224 |
| | ZA 2006002443 | A | 20070926 | ZA 2006-2443 | 20060324 |
| PRAI | US 2003-498270P | P | 20030827 | | |
| | US 2004-581254P | P | 20040618 | | |
| | WO 2004-US28021 | W | 20040827 | | |

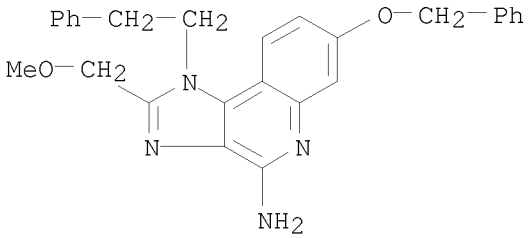
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 142:298105; MARPAT 142:298105

IT 847575-01-3P, 7-Benzyloxy-2-(methoxymethyl)-1-phenethyl-1H-imidazo[4,5-c]quinolin-4-amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(immunomodulator; preparation of aryloxy and arylalkyleneoxy imidazoquinolines as inducers of cytokine biosynthesis for treatment of viral and neoplastic disease)

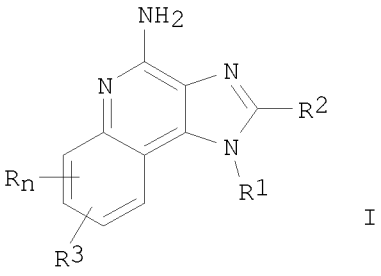
RN 847575-01-3 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 2-(methoxymethyl)-1-(2-phenylethyl)-7-(phenylmethoxy)- (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I

AB Title compds. I (R = alkyl, alkoxy, OH, CF3; n = 0, 1; R1, R2 = H, non-interfering substituent; R3 = ArZ, aminosulfonylaryl, aminocarbonylaryl, etc.; Ar = aryl, heteroaryl; Z = bond, alkylene, alkenylene, alkynylene) which are immunomodulators, inducing cytokines biosynthesis, and inhibiting tumor necrosis factors biosynthesis, are prepared For example, 2-butyl-1-isobutyl-7-(thiophen-3-yl)-1H-imidazo[4,5-c]quinolin-4-amine was prepared in a multi-step synthesis starting from 3-bromoaniline, tri-Et orthoformate, and Meldrum's acid. I are useful in the treatment of viral and neoplastic diseases.

AN 2004:566606 CAPLUS

DN 141:123628

TI Preparation of aryl/heteroaryl substituted imidazoquinolines as immunomodulators

IN Hays, David S.; Niwas, Shri; Kshirsagar, Tushar; Ghosh, Tarun K.; Gupta, Shalley K.; Heppner, Philip D.; Merrill, Bryon A.; Bonk, Jason D.; Danielson, Michael E.; Gerster, John F.; Haraldson, Chad A.; Johannessen, Sarah C.; Kavanagh, Maureen A.; Lindstrom, Kyle J.; Prince, Ryan B.; Radmer, Matthew R.; Rice, Michael J.; Squire, David J.; Strong, Sarah A.; Wurst, Joshua R.

PA 3M Innovative Properties Company, USA

SO PCT Int. Appl., 465 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2004058759 | A1 | 20040715 | WO 2003-US40373 | 20031218 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | | |
|---|---|---|---|---|---|
| | CA 2510375 | A1 | 20040715 | CA 2003-2510375 | 20031218 |
| | AU 2003301052 | A1 | 20040722 | AU 2003-301052 | 20031218 |
| | US 20040147543 | A1 | 20040729 | US 2003-739787 | 20031218 |
| | US 7091214 | B2 | 20060815 | | |
| | EP 1590348 | A1 | 20051102 | EP 2003-814164 | 20031218 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| | CN 1747953 | A | 20060315 | CN 2003-80109659 | 20031218 |
| | JP 2006513212 | T | 20060420 | JP 2004-563764 | 20031218 |
| | NZ 540826 | A | 20080731 | NZ 2003-540826 | 20031218 |
| | MX 2005006740 | A | 20051005 | MX 2005-6740 | 20050617 |
| | IN 2005CN01348 | A | 20070727 | IN 2005-CN1348 | 20050620 |
| | ZA 2005005787 | A | 20061227 | ZA 2005-5787 | 20050719 |
| | US 20060111387 | A1 | 20060525 | US 2006-275553 | 20060113 |
| | IN 2008CN00052 | A | 20080919 | IN 2008-CN52 | 20080104 |
| PRAI | US 2002-435889P | P | 20021220 | | |
| | US 2003-516331P | P | 20031031 | | |
| | US 2003-739787 | A3 | 20031218 | | |
| | WO 2003-US40373 | W | 20031218 | | |
| | IN 2005-CN1348 | A3 | 20050620 | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 141:123628

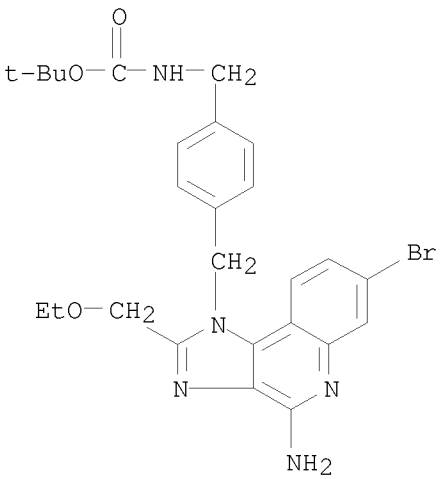
IT 723284-16-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazoquinoline derivs. as immunomodulators for treatment of viral and antineoplastic diseases)

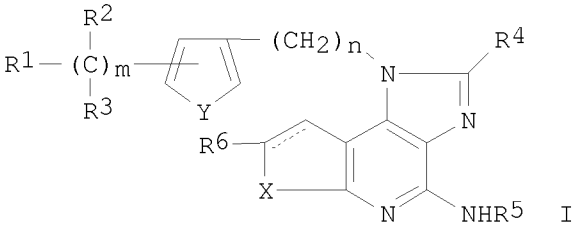
RN 723284-16-0 CAPLUS

CN Carbamic acid, [[4-[[4-amino-7-bromo-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]methyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L7 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I

AB The compds. I [R1 = OR7, SO2NR8R9, CONHR8R9, NR10R11, CR12:NOH, OH, cyano; R2, R3 = H, lower alkyl; R4 = H, C1-10 linear or branched alkyl which may be substituted with ≥1 OH, lower alkyl, cycloalkyl, halo; R5 = H, lower alkyl; R6 = H, lower alkyl, lower alkoxy, halo; R7 = OH, lower alkyl, lower alkoxy; R8, R9 = H, lower alkyl; R10 = H, lower alkyl, benzyl; R11 = H, lower alkyl, benzyl, lower alkanesulfonyl, lower alkanoyl, (un)substituted carbamoyl, (un)substituted thiocarbamoyl, (un)substituted benzenesulfonyl; R12 = H, lower alkyl; m = 0, 1; n = 1-3; X = C1-3 alkylene, CH:CH; Y = S, CH:CH; dotted line represents an optional bond] or their pharmacol. acceptable salts are claimed. I induce synthesis of interferons and are useful as antiviral agents and anticancer agents. Human PBMCs were incubated with 0.10 μg/mL 1-[2-(4-aminophenyl)ethyl]-1,6,7,8-tetrahydrocyclopenta[b]imidazo[4,5-d]pyridin-4-amine hydrochloride (preparation given) to produce 737 pg/mL interferon-α, vs. 62 pg/mL for a control incubated with 1-(2-phenylethyl)-1H-imidazo[4,5-c]quinolin-4-amine.

AN 1999:206895 CAPLUS

DN 130:291590

TI 1-(Substituted aryl)alkyl-1H-imidazopyridin-4-amines as interferon inducers

IN Kato, Hideo; Sakaguchi, Osamu; Aoyama, Makoto; Tsubouchi, Katsutoshi

PA Hokurika Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 78 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

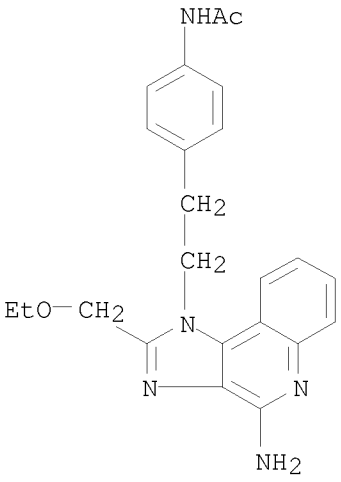
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI JP 11080156 | A | 19990326 | JP 1997-255926 | 19970904 |
| PRAI JP 1997-255926 | | 19970904 | | |

OS MARPAT 130:291590

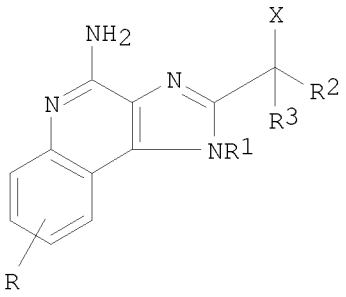
IT 223257-24-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazopyridinamine derivs. as interferon inducers for

anticancer and antiviral drugs)
RN 223257-24-7 CAPLUS
CN Acetamide, N-[4-[2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethyl]phenyl]- (CA INDEX NAME)



OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L7 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I

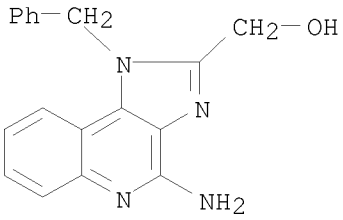
AB 1-Substituted, 2-substituted 1H-imidazo[4,5-c]-quinolin-4-amines I [wherein R1 is selected from the group consisting of: hydroxyalkyl of one to about six carbon atoms and alkoxyalkyl wherein the alkoxy moiety is of one to about four carbon atoms and the alkyl moiety is of one to about six carbon atoms; R2 and R3 are independently selected from the group consisting of hydrogen and alkyl of one to about four carbon atoms; X is selected from the group consisting of alkoxy of one to about four carbon atoms, alkoxyalkyl wherein the alkoxy moiety is of one to about four carbon atoms and the alkyl moiety is of one to about four carbon atoms, hydroxyalkyl of one to about four carbon atoms, and hydroxy; and R is

selected from the group consisting of hydrogen, straight chain or branched chain alkoxy of one to about four carbon atoms, halogen, and straight chain or branched chain alkyl of one to about four carbon atoms; or a pharmaceutically acceptable acid addition salt thereof] are disclosed. These compds. function as antiviral agents, they induce biosynthesis of interferon, and they inhibit tumor formation in animal models. This invention also provides intermediates for preparing such compds., pharmaceutical compns. containing such compds., and pharmacol. methods of using such compds. I inhibited Herpes simplex virus type II lesions in guinea pigs and were also active against vesicular stomatitis virus in vitro. Interferon-α induction in human cells by I: at dose concentration of, e.g., 0.50 μg/mL, α reference units/mL of up to 2500 were observed Inhibition of MC-26 tumors in mice by I: at dose of 30 mg/kg, number of colonies as low as 123 ± 31 vs. 385 ± 31 for control were observed

AN 1995:420800 CAPLUS
DN 123:83363
OREF 123:14921a,14924a
TI 1-Substituted, 2-substituted 1H-imidazo[4,5-c]quinolin-4-amines as antiviral and antitumor agents and inducers of biosynthesis of interferon
IN Gerster, John F.; Crooks, Stephen L.; Lindstrom, Kyle J.
PA Minnesota Mining and Manufacturing Co., USA
SO U.S., 26 pp. Cont.-in-part of U.S. Ser. No. 838,475, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 5389640 | A | 19950214 | US 1992-938295 | 19920828 |
| | CA 2104782 | A1 | 19920902 | CA 1992-2104782 | 19920220 |
| | CA 2104782 | C | 20010807 | | |
| | EP 872478 | A2 | 19981021 | EP 1998-105754 | 19920220 |
| | EP 872478 | A3 | 19981104 | | |
| | EP 872478 | B1 | 20021218 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE | | | | |
| | CA 2289219 | C | 20030520 | CA 1992-2289219 | 19920220 |
| | ZA 9201540 | A | 19921125 | ZA 1992-1540 | 19920228 |
| | IL 114570 | A | 19961031 | IL 1992-114570 | 19920301 |
| | US 5605899 | A | 19970225 | US 1994-353802 | 19941212 |
| | US 5741909 | A | 19980421 | US 1997-789264 | 19970128 |
| | US 5977366 | A | 19991102 | US 1998-60010 | 19980414 |
| | US 6348462 | B1 | 20020219 | US 1999-386486 | 19990827 |
| | US 20020115861 | A1 | 20020822 | US 2001-974038 | 20011009 |
| | US 6465654 | B2 | 20021015 | | |
| | US 20030119861 | A1 | 20030626 | US 2002-238661 | 20020910 |
| | US 6608201 | B2 | 20030819 | | |
| | US 20030212270 | A1 | 20031113 | US 2003-436905 | 20030513 |
| | US 6686472 | B2 | 20040203 | | |
| | US 20040122231 | A1 | 20040624 | US 2003-731826 | 20031209 |
| | US 6790961 | B2 | 20040914 | | |
| PRAI | US 1991-662926 | B2 | 19910301 | | |
| | US 1991-687326 | B2 | 19910418 | | |
| | US 1992-838475 | B2 | 19920219 | | |
| | CA 1992-2104782 | A3 | 19920220 | | |
| | EP 1992-906763 | A3 | 19920220 | | |
| | IL 1992-101110 | A3 | 19920301 | | |

US 1992-938295 A3 19920828
US 1994-353802 A3 19941212
US 1997-789264 A3 19970128
US 1998-60010 A3 19980414
US 1999-386486 A1 19990827
US 2001-974038 A3 20011009
US 2002-238661 A3 20020910
US 2003-436905 A3 20030513
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 123:83363
IT 144875-49-0P, 4-Amino-1-phenylmethyl-1H-imidazo[4,5-c]quinoline-2-methanol
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(1H-imidazo[4,5-c]quinolin-4-amines as antiviral and antitumor agents and inducers of biosynthesis of interferon)
RN 144875-49-0 CAPLUS
CN 1H-Imidazo[4,5-c]quinoline-2-methanol, 4-amino-1-(phenylmethyl)- (CA INDEX NAME)




OSC.G 27 THERE ARE 27 CAPLUS RECORDS THAT CITE THIS RECORD (40 CITINGS)
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

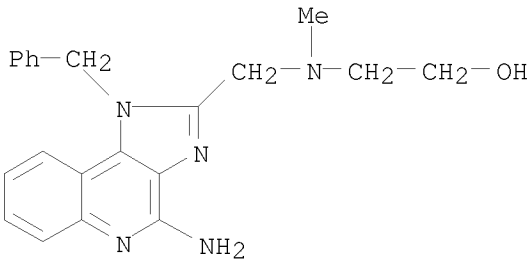
L7 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
GI For diagram(s), see printed CA Issue.
AB Title compds. [I; R = H, halo, alkoxy, alkyl; R1 = H, (substituted) alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, acyloxyalkyl, PhCH2, PhCH2CH2, Ph; R2, R3 = H, alkyl (substituted) Ph; X = alkoxy, alkoxyalkyl, haloalkyl, hydroxyalkyl, alkylamido, amino, N3, Cl, OH, morpholino, pyrrolidino, alkylthio], were prepared Thus, 2-ethoxymethyl-1-(2-hydroxy-2-methylpropyl)-1H-imidazo[4,5-c]quinoline 5-oxide (preparation given) was stirred with aqueous NH3
and 4-MeC6H4SO2Cl in CH2Cl2 to give 4-amino-α,2-dimethyl-2-ethoxymethyl-1H-imidazo[4,5-C]quinoline-1-ethanol. The latter at 3mg/kg/day, orally for 5d in mice reduced the number of MC-26 tumor colonies to 17 (vs. 55 for controls).
AN 1993:22239 CAPLUS
DN 118:22239
OREF 118:4189a,4192a
TI Preparation of 1H-imidazo[4,5-c]quinoline-4-amines as virucides, neoplasm inhibitors, and interferon inducers
IN Gerster, John F.; Crooks, Stephen L.; Lindstrom, Kyle J.

PA Minnesota Mining and Manufacturing Co., USA
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9215582 | A1 | 19920917 | WO 1992-US1305 | 19920220 |
| | W: AU, CA, CS, HU, JP, KR, NO | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE | | | | |
| | CA 2104782 | A1 | 19920902 | CA 1992-2104782 | 19920220 |
| | CA 2104782 | C | 20010807 | | |
| | AU 9215669 | A | 19921006 | AU 1992-15669 | 19920220 |
| | AU 658621 | B2 | 19950427 | | |
| | EP 582581 | A1 | 19940216 | EP 1992-906763 | 19920220 |
| | EP 582581 | B1 | 19990506 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE | | | | |
| | JP 06504789 | T | 19940602 | JP 1992-506455 | 19920220 |
| | JP 2955019 | B2 | 19991004 | | |
| | HU 67026 | A2 | 19950130 | HU 1993-2457 | 19920220 |
| | HU 222111 | B1 | 20030428 | | |
| | EP 872478 | A2 | 19981021 | EP 1998-105754 | 19920220 |
| | EP 872478 | A3 | 19981104 | | |
| | EP 872478 | B1 | 20021218 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE | | | | |
| | CZ 285050 | B6 | 19990512 | CZ 1993-1788 | 19920220 |
| | AT 179711 | T | 19990515 | AT 1992-906763 | 19920220 |
| | ES 2131070 | T3 | 19990716 | ES 1992-906763 | 19920220 |
| | SG 70625 | A1 | 20000222 | SG 1998-326 | 19920220 |
| | HU 220667 | B1 | 20020429 | HU 1997-1082 | 19920220 |
| | AT 229943 | T | 20030115 | AT 1998-105754 | 19920220 |
| | ES 2186034 | T3 | 20030501 | ES 1998-105754 | 19920220 |
| | CA 2289219 | C | 20030520 | CA 1992-2289219 | 19920220 |
| | HU 222247 | B1 | 20030528 | HU 1997-1083 | 19920220 |
| | HU 222251 | B1 | 20030528 | HU 1997-1084 | 19920220 |
| | HU 222250 | B1 | 20030528 | HU 1997-1089 | 19920220 |
| | ZA 9201540 | A | 19921125 | ZA 1992-1540 | 19920228 |
| | IL 101110 | A | 19951208 | IL 1992-101110 | 19920301 |
| | IL 114570 | A | 19961031 | IL 1992-114570 | 19920301 |
| | NO 9303069 | A | 19931101 | NO 1993-3069 | 19930827 |
| | NO 303729 | B1 | 19980824 | | |
| | AU 9527157 | A | 19950921 | AU 1995-27157 | 19950725 |
| | AU 673309 | B2 | 19961031 | | |
| PRAI | US 1991-662926 | A | 19910301 | | |
| | US 1991-687326 | A | 19910418 | | |
| | CA 1992-2104782 | A3 | 19920220 | | |
| | EP 1992-906763 | A3 | 19920220 | | |
| | HU 1993-2457 | A | 19920220 | | |
| | WO 1992-US1305 | A | 19920220 | | |
| | IL 1992-101110 | A3 | 19920301 | | |

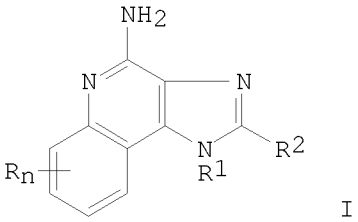
OS MARPAT 118:22239
IT 144875-26-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as virucide and neoplasm inhibitor)
RN 144875-26-3 CAPLUS

CN Ethanol, 2-[[[4-amino-1-(phenylmethyl)-1H-imidazo[4,5-c]quinolin-2-yl]methyl]methylamino]- (CA INDEX NAME)



OSC.G 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (24 CITINGS)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
GI



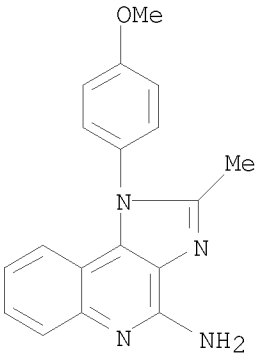
I

AB The title compds. [I; R = C1-4 alkyl, C1-4 alkoxy, halo; R1 = C1-10 alkyl, R3OZ, (un)substituted Ph, PhCH2, PhCH2CH2; R2 = H, C1-8 alkyl, (un)substituted Ph, PhCH2, PhCH2CH2; R3 = H, OH, C2-4 alkanoyl, Bz; Z = C1-6 alkylene; n = 1, 2] were prepared as antiviral agents, especially against herpes simplex types 1 and 2, and as an interferon inducer. 1-Isobutyl-1H-imidazo[4,5-c]quinoline (preparation given) was oxidized with H2O2 to give the 5-oxide which was chlorinated with POCl3 and treated with 50% aqueous NaOH to give 4-chloro-1-isobutyl-1H-imidazo[4,5-c]quinoline. The latter was heated at 150° in a bomb with concentrated NH4OH to give I (R1 = Me2CHCH2, R = R2 = H) (II). In female guinea pigs 5 mg II/kg intravaginally increased blood interferon activity to 31,250/mL, compared to 100-1000/mL for untreated animals. A topical antiviral cream was prepared containing II 1, Me paraben 0.2, Pr paraben 0.02, Avicel CL-611 microcryst. cellulose 5, and H2O 93.78%.
AN 1988:75403 CAPLUS
DN 108:75403
OREF 108:12475a,12478a
TI Preparation of 1H-imidazo[4,5-c]quinolin-4-amines as antiviral agents and interferon inducers
IN Gerster, John F.

PA Riker Laboratories, Inc., USA
SO U.S., 19 pp. Cont.-in-part of U.S. Ser. No. 553,158, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

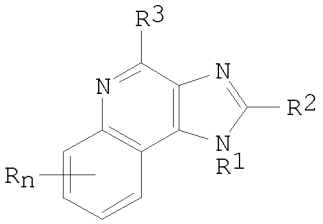
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 4689338 | A | 19870825 | US 1985-798385 | 19851115 |
| | IL 73534 | A | 19901223 | IL 1984-73534 | 19841116 |
| | IL 84537 | A | 19901223 | IL 1984-84537 | 19841116 |
| | AT 84525 | T | 19930115 | AT 1988-116137 | 19841116 |
| | NO 8900822 | A | 19850520 | NO 1989-822 | 19890227 |
| | NO 165145 | B | 19900924 | | |
| | NO 165145 | C | 19910102 | | |
| | NO 8900823 | A | 19850520 | NO 1989-823 | 19890227 |
| | NO 165146 | B | 19900924 | | |
| | NO 165146 | C | 19910102 | | |
| | NO 8900824 | A | 19850520 | NO 1989-824 | 19890227 |
| | NO 165147 | B | 19900924 | | |
| | NO 165147 | C | 19910102 | | |
| | NO 8900825 | A | 19850520 | NO 1989-825 | 19890227 |
| | NO 169437 | B | 19920316 | | |
| | NO 169437 | C | 19920624 | | |
| | NO 8900826 | A | 19850520 | NO 1989-826 | 19890227 |
| | NO 168705 | B | 19911216 | | |
| | NO 168705 | C | 19920325 | | |
| PRAI | US 1983-553158 | A2 | 19831118 | | |
| | US 1983-553157 | A | 19831118 | | |
| | NO 1984-4565 | A1 | 19841115 | | |
| | EP 1988-116137 | A | 19841116 | | |
| | IL 1984-73534 | A | 19841116 | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 108:75403
IT 99011-11-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as virucide and immunomodulator)
RN 99011-11-7 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(4-methoxyphenyl)-2-methyl- (CA INDEX NAME)



OSC.G 45 THERE ARE 45 CAPLUS RECORDS THAT CITE THIS RECORD (45 CITINGS)
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I

AB Bronchospasmolytic and virucidal (no data) title compds. [I; R = alkyl, alkoxy; R1 = H, alkyl, hydroxyalkyl, (un)substituted Ph, PhCH2, PhCH2CH2, PhCHMe; R2 = H, alkyl, hydroxyalkyl, aminoalkyl, hydroxyalkyl, CF3, alkylthio, PhCH2S, SH; R3 = H, alkyl, alkoxy, alkylthio, OH, PhS, morpholino; n = 0-2] were prepared Thus, 4-chloro-3-nitroquinoline was aminolyzed with Me2CHCH2NH2 to give 4-(isobutylamino)-3-nitroquinoline. This was hydrogenated to give the diamine which was cyclocondensed with HC(OEt)3 and HCO2H to give I (R = R2 = R3 = H, R1 = Me2CHCH2). This was oxidized with H2O2 to give the imidazoquinoline 5-oxide which was refluxed with POCl3 to give I (R = R2 = H, R1 = Me2CHCH2, R3 = Cl). This was heated at 150° in an autoclave with NH4OH to give I (R = R2 = H, R1 = Me2CHCH2, R3 = NH2).
AN 1985:596090 CAPLUS
DN 103:196090
OREF 103:31601a,31604a
TI 1H-Imidazo[4,5-c]quinolines and 1H-imidazo[4,5-c]quinoline-4-amines
IN Gerster, John F.
PA Riker Laboratories, Inc., USA
SO Eur. Pat. Appl., 84 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 145340 | A2 | 19850619 | EP 1984-307974 | 19841116 |
| | EP 145340 | A3 | 19860611 | | |
| | EP 145340 | B1 | 19900124 | | |
| | R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE | | | | |
| | CA 1271477 | A1 | 19900710 | CA 1984-467706 | 19841113 |
| | AU 8435402 | A | 19850523 | AU 1984-35402 | 19841114 |
| | AU 581190 | B2 | 19890216 | | |
| | DK 8405426 | A | 19850519 | DK 1984-5426 | 19841115 |
| | DK 164280 | B | 19920601 | | |
| | DK 164280 | C | 19921130 | | |

| | | | | | |
|---|---|---|---|---|---|
| | NO 8404565 | A | 19850520 | NO 1984-4565 | 19841115 |
| | NO 163819 | B | 19900417 | | |
| | NO 163819 | C | 19900801 | | |
| | ZA 8408968 | A | 19860625 | ZA 1984-8968 | 19841116 |
| | EP 310950 | A1 | 19890412 | EP 1988-116137 | 19841116 |
| | EP 310950 | B1 | 19930113 | | |
| | R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE | | | | |
| | AT 49763 | T | 19900215 | AT 1984-307974 | 19841116 |
| | IL 84537 | A | 19901223 | IL 1984-84537 | 19841116 |
| | AT 84525 | T | 19930115 | AT 1988-116137 | 19841116 |
| | JP 60123488 | A | 19850702 | JP 1984-243142 | 19841117 |
| | JP 05086391 | B | 19931210 | | |
| | US 4698348 | A | 19871006 | US 1985-798386 | 19851115 |
| | AU 8929911 | A | 19890615 | AU 1989-29911 | 19890214 |
| | AU 611997 | B2 | 19910627 | | |
| | NO 8900822 | A | 19850520 | NO 1989-822 | 19890227 |
| | NO 165145 | B | 19900924 | | |
| | NO 165145 | C | 19910102 | | |
| | NO 8900823 | A | 19850520 | NO 1989-823 | 19890227 |
| | NO 165146 | B | 19900924 | | |
| | NO 165146 | C | 19910102 | | |
| | NO 8900824 | A | 19850520 | NO 1989-824 | 19890227 |
| | NO 165147 | B | 19900924 | | |
| | NO 165147 | C | 19910102 | | |
| | NO 8900825 | A | 19850520 | NO 1989-825 | 19890227 |
| | NO 169437 | B | 19920316 | | |
| | NO 169437 | C | 19920624 | | |
| | NO 8900826 | A | 19850520 | NO 1989-826 | 19890227 |
| | NO 168705 | B | 19911216 | | |
| | NO 168705 | C | 19920325 | | |
| | DK 9101357 | A | 19910716 | DK 1991-1357 | 19910716 |
| | DK 169179 | B1 | 19940905 | | |
| | DK 9101358 | A | 19910716 | DK 1991-1358 | 19910716 |
| | DK 164455 | B | 19920629 | | |
| | DK 164455 | C | 19921116 | | |
| | DK 9101359 | A | 19910716 | DK 1991-1359 | 19910716 |
| | DK 165921 | B | 19930208 | | |
| | DK 165921 | C | 19930628 | | |
| | DK 9101360 | A | 19910716 | DK 1991-1360 | 19910716 |
| | DK 164451 | B | 19920629 | | |
| | DK 164451 | C | 19921109 | | |
| | DK 9101361 | A | 19910716 | DK 1991-1361 | 19910716 |
| | DK 164452 | B | 19920629 | | |
| | DK 164452 | C | 19921109 | | |
| PRAI | US 1983-553157 | A | 19831118 | | |
| | US 1983-553158 | A | 19831118 | | |
| | NO 1984-4565 | A1 | 19841115 | | |
| | EP 1984-307974 | P | 19841116 | | |
| | EP 1988-116137 | A | 19841116 | | |
| | IL 1984-73534 | A | 19841116 | | |
| | US 1985-785773 | A2 | 19851009 | | |

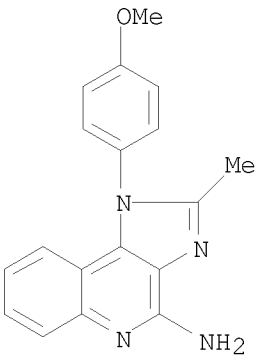
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 103:196090

IT 99011-11-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 99011-11-7 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(4-methoxyphenyl)-2-methyl- (CA INDEX NAME)




OSC.G 36 THERE ARE 36 CAPLUS RECORDS THAT CITE THIS RECORD (45 CITINGS)